

Refine Search

Search Results -

| Terms | Documents |
|-------------------------------------|-----------|
| L7 AND (514/\$ OR 560/\$ OR 562/\$) | 16 |

Database:

US Pre-Grant Publication Full-Text Database
 US Patents Full-Text Database
 US OCR Full-Text Database
 EPO Abstracts Database
 JPO Abstracts Database
 Derwent World Patents Index
 IBM Technical Disclosure Bulletins

Search:

L8

Refine Search

Recall Text

Clear

Interrupt

Search History

DATE: Tuesday, February 05, 2008 [Purge Queries](#) [Printable Copy](#) [Create Case](#)

Set Name Query

side by side

Hit Count Set Name

result set

DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=ADJ

| | | | |
|-----------|--|-------|-----------|
| <u>L8</u> | L7 AND (514/\$ OR 560/\$ OR 562/\$) | 16 | <u>L8</u> |
| <u>L7</u> | L5 AND PAIN\$9 AND INFLAM\$9 | 21 | <u>L7</u> |
| <u>L6</u> | L5 AND PAIN AND INFLAM\$9 | 21 | <u>L6</u> |
| <u>L5</u> | L4 AND URINARY INCONTINENCE | 32 | <u>L5</u> |
| <u>L4</u> | L3 AND L2 | 2255 | <u>L4</u> |
| <u>L3</u> | (N-HYDROXY THIOUREA.TI. OR UREA.TI.) AND AMIDE | 2255 | <u>L3</u> |
| <u>L2</u> | (N-HYDROXY THIOUREA OR UREA) AND AMIDE | 88358 | <u>L2</u> |

DB=PGPB; PLUR=YES; OP=ADJ

| | | | |
|-----------|-------------|---|-----------|
| <u>L1</u> | 20050288369 | 1 | <u>L1</u> |
|-----------|-------------|---|-----------|

END OF SEARCH HISTORY

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Search Results - Record(s) 1 through 10 of 16 returned.

☐ 1. Document ID: US 20070244178 A1

L8: Entry 1 of 16

File: PGPB

Oct 18, 2007

PGPUB-DOCUMENT-NUMBER: 20070244178

PGPUB-FILING-TYPE:

DOCUMENT-IDENTIFIER: US 20070244178 A1

TITLE: Process for the Preparation of Indazolyl Ureas that Inhibit Vanilloid Subtype1 (VR1) Receptors

PUBLICATION-DATE: October 18, 2007

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|------------------------|--------------|-------|---------|
| Lukin; Kirill A. | Vernon Hills | IL | US |
| Hsu; Margaret Chi-Ping | Lake Forest | IL | US |
| Fernando; Dilinie P. | Lake Forest | IL | US |
| Kotecki; Brian J. | Oak Creek | WI | US |
| Leanna; Marvin R. | Grayslake | IL | US |

US-CL-CURRENT: 514/406; 548/361.1

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KMIC | Drawings |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 2. Document ID: US 20070167458 A1

L8: Entry 2 of 16

File: PGPB

Jul 19, 2007

PGPUB-DOCUMENT-NUMBER: 20070167458

PGPUB-FILING-TYPE:

DOCUMENT-IDENTIFIER: US 20070167458 A1

TITLE: Tetrahydro-naphthalene and urea derivatives

PUBLICATION-DATE: July 19, 2007

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|-------------------|-----------|-------|---------|
| Bouchon; Axel | Koln | | DE |
| Diedrichs; Nicole | Velbert | | DE |
| Hermann; Achim | Duseldorf | | DE |

| | | |
|----------------------|-------------|----|
| Lustig; Klemens | Wuppertal | DE |
| Meier; Heinrich | Wuppertal | DE |
| Pernerstorfer; Josef | Hilden | DE |
| Reissmuller; Elke | Wuppertal | DE |
| De Vry; Jean | Stolberg | DE |
| Mogi; Muneto | Ibaraki-ken | JP |
| Urbahns; Klaus | Lund | SE |
| Yura; Takeshi | Nara-ken | JP |
| Fujishima; Hiroshi | Nara-ken | JP |
| Tajimi; Masaomi | Aichi-ken | JP |
| Yamamoto; Noriyuki | Osaka-fu | JP |
| Yuasa; Hiroaki | Kyoto | JP |
| Gupta; Jang | Dusseldorf | DE |
| Tsukimi; Yasuhiro | Hyogo-ken | JP |
| Hayashi; Fumihiko | Tokyo | JP |

US-CL-CURRENT: [514/255.01](#); [544/388](#), [546/205](#), [546/219](#)

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 3. Document ID: US 20070078156 A1

L8: Entry 3 of 16

File: PGPB

Apr 5, 2007

PGPUB-DOCUMENT-NUMBER: 20070078156

PGPUB-FILING-TYPE:

DOCUMENT-IDENTIFIER: US 20070078156 A1

TITLE: Derivatives of n-(1h-indazolyl)-and n-(1h-indolyl)-urea as well as related compounds as modulators of the vanilloid-1 receptor (vr1) for treatment of pain

PUBLICATION-DATE: April 5, 2007

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|----------------------------|-------------------|-------|---------|
| Fletcher; Stephen Robert | Bishops Stortford | | GB |
| Hollingworth; Gregory John | Brentwood | | GB |
| Jones; Brian A. | Saffron Walden | | GB |
| Moyes; Richard Christopher | Hertford | | GB |
| Rogers; Lauren | Braintree | | GB |

US-CL-CURRENT: [514/301](#); [514/302](#), [514/303](#), [546/112](#), [546/114](#), [546/116](#), [546/119](#), [564/1](#)

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 4. Document ID: US 20060063775 A1

L8: Entry 4 of 16

File: PGPB

Mar 23, 2006

PGPUB-DOCUMENT-NUMBER: 20060063775
PGPUB-FILING-TYPE:
DOCUMENT-IDENTIFIER: US 20060063775 A1

TITLE: Urea derivatives as calcium channel blockers

PUBLICATION-DATE: March 23, 2006

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|---------------------|-----------|-------|---------|
| Pajouhesh; Hassan | Vancouver | | CA |
| Pajouhesh; Hossein | Burnaby | | CA |
| Ding; Yanbing | Vancouver | | CA |
| Snutch; Terrance P. | Vancouver | | CA |

US-CL-CURRENT: 514/253.12; 514/255.01, 544/360, 544/386

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 5. Document ID: US 20050288369 A1

L8: Entry 5 of 16

File: PGPB

Dec 29, 2005

PGPUB-DOCUMENT-NUMBER: 20050288369
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20050288369 A1

TITLE: Novel n-hydroxy thiourea, urea and amide compounds and the pharmaceutical compositions comprising the same

PUBLICATION-DATE: December 29, 2005

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|--------------|-------|-------|---------|
| Lee, Jee-Woo | Seoul | | KR |

US-CL-CURRENT: 514/534; 514/575, 560/41, 562/621

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 6. Document ID: US 20050187291 A1

L8: Entry 6 of 16

File: PGPB

Aug 25, 2005

PGPUB-DOCUMENT-NUMBER: 20050187291
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20050187291 A1

TITLE: Aminotetralin-derived urea modulators of vanilloid VR1 receptor

PUBLICATION-DATE: August 25, 2005

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|-------------------|------------|-------|---------|
| Codd, Ellen | Blue Bell | PA | US |
| Dax, Scott L. | Landenberg | PA | US |
| Jetter, Michele | Norristown | PA | US |
| McDonnell, Mark | Lansdale | PA | US |
| McNally, James J. | Souderton | PA | US |
| Youngman, Mark | Warminster | PA | US |

US-CL-CURRENT: [514/521](#); [514/563](#), [514/595](#)

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|
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☐ 7. Document ID: US 20050154230 A1

L8: Entry 7 of 16

File: PGPB

Jul 14, 2005

PGPUB-DOCUMENT-NUMBER: 20050154230

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20050154230 A1

TITLE: Urea derivatives

PUBLICATION-DATE: July 14, 2005

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|--------------------|---------------|-------|---------|
| Yura, Takeshi | Handa-shi | | JP |
| Mogi, Muneto | Nara-shi | | JP |
| Ikegami, Yuka | Kyoto-shi | | JP |
| Masuda, Tsutomu | Handa-shi | | JP |
| Kokubo, Toshio | Nara-shi | | JP |
| Urbahns, Klaus | Lund | | SE |
| Yoshida, Nagahiro | Soraku-gun | | JP |
| Marumo, Makiko | Nara-shi | | JP |
| Shiroo, Masahiro | Cambridge | | GB |
| Tajimi, Masaomi | Chita-shi | | JP |
| Takeshita, Keisuke | Takamatsu-shi | | JP |
| Moriwaki, Toshiya | Ikoma-shi | | JP |
| Tsukimi, Yasuhiro | Amagasaki-shi | | JP |

US-CL-CURRENT: [564/52](#)

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|
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☐ 8. Document ID: US 20050119304 A1

L8: Entry 8 of 16

File: PGPB

Jun 2, 2005

PGPUB-DOCUMENT-NUMBER: 20050119304
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20050119304 A1

TITLE: Urea derivatives

PUBLICATION-DATE: June 2, 2005

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|--------------------|------------|-------|---------|
| Yura, Takeshi | Aichi-ken | | JP |
| Mogi, Muneto | Nara-ken | | JP |
| Ikegami, Yuka | Kyoto-shi | | JP |
| Masuda, Tsutomu | Aichi-ken | | JP |
| Kokubo, Toshio | Nara-ken | | JP |
| Urbahns, Klaus | Lund | | SE |
| Yoshida, Nagahiro | Kyoto-fu | | JP |
| Marumo, Makiko | Nara-ken | | JP |
| Shiroo, Masahiro | Cambridge | | GB |
| Tajimi, Masaomi | Aichi-ken | | JP |
| Takeshita, Keisuke | Kagawa-ken | | JP |
| Moriwaki, Toshiya | Nara-ken | | JP |
| Tsukimi, Yasuhiro | Hyogo-ken | | JP |

US-CL-CURRENT: 514/314; 514/367, 514/419

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Drawings |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
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☐ 9. Document ID: US 20050107388 A1

L8: Entry 9 of 16

File: PGPB

May 19, 2005

PGPUB-DOCUMENT-NUMBER: 20050107388
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20050107388 A1

TITLE: Heteroaromatic urea derivatives as vr-1receptor modulators for treating pain

PUBLICATION-DATE: May 19, 2005

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|-----------------------------|----------------|-------|---------|
| Brown, Rebecca Elizabeth | Cambridge | | GB |
| Doughty, Victoria Alexandra | Stanstead | | GB |
| Hollingworth, Gregory John | Brentwood | | GB |
| Jones, A. Brian | Saffron Walden | | GB |
| Lindon, Matthew John | Stevenage | | GB |

Moyes, Christopher Richard
Rogers, Lauren

Hertford
Braintree

GB
GB

US-CL-CURRENT: 514/248; 514/210.21, 514/227.8, 514/232.5, 514/249, 514/252.17,
514/253.06, 514/266.1, 514/310, 514/311, 544/114, 544/126, 544/284, 544/353,
544/363, 544/60

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D |
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☐ 10. Document ID: US 20040157865 A1

L8: Entry 10 of 16

File: PGPB

Aug 12, 2004

PGPUB-DOCUMENT-NUMBER: 20040157865

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040157865 A1

TITLE: Naphthol, quinoline and isoquinoline-derived urea modulators of vanilloid
VR1 receptor

PUBLICATION-DATE: August 12, 2004

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|-------------------|------------|-------|---------|
| Codd, Ellen | Blue Bell | PA | US |
| Dax, Scott L. | Landenberg | PA | US |
| Jetter, Michele | Lansdale | PA | US |
| McDonnell, Mark | Souderton | PA | US |
| McNally, James J. | Warminster | PA | US |
| Youngman, Mark | | | US |

US-CL-CURRENT: 514/266.1; 514/310, 514/311, 544/286, 546/153

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D |
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| Clear | Generate Collection | Print | Fwd Refs | Bkwd Refs | Generate OACS |
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| Terms | Documents |
| L7 AND (514/\$ OR 560/\$ OR 562/\$) | 16 |

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Search Results - Record(s) 11 through 16 of 16 returned.

☐ 11. Document ID: US 20030236280 A1

L8: Entry 11 of 16

File: PGPB

Dec 25, 2003

PGPUB-DOCUMENT-NUMBER: 20030236280

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030236280 A1

TITLE: Aminotetralin-derived urea modulators of vanilloid VR1 receptor

PUBLICATION-DATE: December 25, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY |
|-------------------|------------|-------|---------|
| Codd, Ellen | Blue Bell | PA | US |
| Dax, Scott L. | Landenberg | PA | US |
| Jetter, Michele | Norristown | PA | US |
| McDonnell, Mark | Lansdale | PA | US |
| McNally, James J. | Souderton | PA | US |
| Youngman, Mark | Warminster | PA | US |

US-CL-CURRENT: [514/310](#); [514/319](#), [546/143](#), [546/205](#)

| | | | | | | | | | | | | |
|----------------------|-----------------------|--------------------------|-----------------------|------------------------|--------------------------------|----------------------|---------------------------|---------------------------|-----------------------------|------------------------|---------------------|------------------------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWC | Draw D |
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☐ 12. Document ID: US 7285563 B2

L8: Entry 12 of 16

File: USPT

Oct 23, 2007

US-PAT-NO: 7285563

DOCUMENT-IDENTIFIER: US 7285563 B2

TITLE: Heteroaromatic urea derivatives as VR-1 receptor modulators for treating pain

PRIOR-PUBLICATION:

| DOC-ID | DATE |
|-------------------|--------------|
| US 20050107388 A1 | May 19, 2005 |

| | | | | | | | | | | | | |
|----------------------|-----------------------|--------------------------|-----------------------|------------------------|--------------------------------|----------------------|---------------------------|---------------------------|-----------------------------|------------------------|---------------------|------------------------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWC | Draw D |
|----------------------|-----------------------|--------------------------|-----------------------|------------------------|--------------------------------|----------------------|---------------------------|---------------------------|-----------------------------|------------------------|---------------------|------------------------|

☐ 13. Document ID: US 7183411 B2

L8: Entry 13 of 16

File: USPT

Feb 27, 2007

US-PAT-NO: 7183411

DOCUMENT-IDENTIFIER: US 7183411 B2

TITLE: Naphthol, quinoline and isoquinoline-derived urea modulators of vanilloid VR1 receptor

PRIOR-PUBLICATION:

DOC-ID

DATE

US 20040157865 A1

August 12, 2004

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |
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☐ 14. Document ID: US 6984647 B2

L8: Entry 14 of 16

File: USPT

Jan 10, 2006

US-PAT-NO: 6984647

DOCUMENT-IDENTIFIER: US 6984647 B2

TITLE: Aminotetralin-derived urea modulators of vanilloid VR1 receptor

PRIOR-PUBLICATION:

DOC-ID

DATE

US 20030236280 A1

December 25, 2003

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|

☐ 15. Document ID: US 5661146 A

L8: Entry 15 of 16

File: USPT

Aug 26, 1997

US-PAT-NO: 5661146

DOCUMENT-IDENTIFIER: US 5661146 A

TITLE: Substituted dibenzoxazepine urea compounds, pharmaceutical compositions and methods of use

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|---------|

☐ 16. Document ID: US 5449675 A

L8: Entry 16 of 16

File: USPT

Sep 12, 1995

US-PAT-NO: 5449675

DOCUMENT-IDENTIFIER: US 5449675 A

TITLE: Substituted dibenzoxazepine and dibenzthiazepine urea compounds,
pharmaceutical compositions and methods of use

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Abstract | Claims | KMIC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|----------|--------|------|---------|
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| Terms | Documents |
| L7 AND (514/\$ OR 560/\$ OR 562/\$) | 16 |

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(FILE 'HOME' ENTERED AT 15:25:46 ON 05 FEB 2008)

FILE 'CAPLUS' ENTERED AT 15:25:53 ON 05 FEB 2008

L1 STRUCTURE UPLOADED
 S L1

FILE 'REGISTRY' ENTERED AT 15:26:23 ON 05 FEB 2008

L2 7979 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:26:25 ON 05 FEB 2008

L3 1042 S L2 FULL

L4 708 S L3 AND PY<2002

L5 403 S L4 AND (PHENYL? OR BENZYL OR OLEYL)

L6 1 S L5 AND (TERT-BUTYLPHENYL OR DIMETHYLBENZYL OR OLEYL)

FILE 'CAPLUS' ENTERED AT 16:37:09 ON 05 FEB 2008

L7 STRUCTURE UPLOADED
 S L7

FILE 'REGISTRY' ENTERED AT 16:37:56 ON 05 FEB 2008

L8 362 S L7 FULL

FILE 'CAPLUS' ENTERED AT 16:37:57 ON 05 FEB 2008

L9 110 S L8 FULL

L10 54 S L9 AND PY<2002

L11 1 S L10 AND (BUTYLPHENYL OR DIMETHYLPHENYLPROPYL OR OLEYL)

L12 0 S L10 AND (BUTYLBENZYL OR DIMETHYLPHENYLPROPYL OR OLEYL)

=>

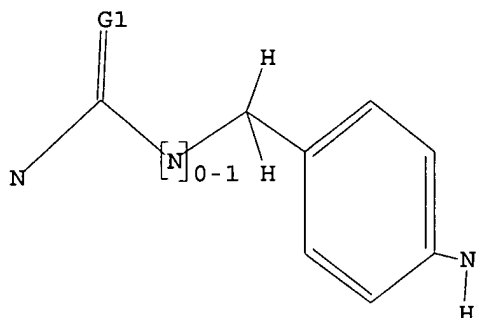
10/923,271

STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:26:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 262088 TO ITERATE

100.0% PROCESSED 262088 ITERATIONS
SEARCH TIME: 00.00.01

7979 ANSWERS

L2 7979 SEA SSS FUL L1

L3 1042 L2

=> s l3 and py<2002

21937596 PY<2002

L4 708 L3 AND PY<2002

=> s l4 and (phenyl? or benzyl or oleyl)

858171 PHENYL?

189061 BENZYL

9801 OLEYL

L5 403 L4 AND (PHENYL? OR BENZYL OR OLEYL)

=> s l5 and (tert-butylphenyl or dimethylbenzyl or oleyl)

275795 TERT

11468 BUTYLPHENYL

9542 TERT-BUTYLPHENYL

TOh

05/02/2008

(TERT(W) BUTYLPHENYL)

5702 DIMETHYLBENZYL

9801 OLEYL

L6 1 L5 AND (TERT-BUTYLPHENYL OR DIMETHYLBENZYL OR OLEYL)

=> d ibib abs hitstr

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1949:17410 CAPLUS

DOCUMENT NUMBER: 43:17410

ORIGINAL REFERENCE NO.: 43:3360h-i,3361a-i,3362a-g

TITLE: Biosynthesis of penicillins. V. Substituted phenylacetic acid derivatives as penicillin precursors

AUTHOR(S): Corse, Joseph W.; Jones, Reuben G.; Soper, Quentin F.; Whitehead, Calvert W.; Behrens, Otto K.

SOURCE: Journal of the American Chemical Society (1948), 70, 2837-43

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. C.A. 43, 2274b. A description is given of substituted PhCH₂CO₂H derivs. which have been tested as precursor substances in the preparation of new penicillins. p-HOC₆H₄CH₂CO₂Et (I) (36 g.) and 38 g. PhCH₂Cl in 300 mL. absolute EtOH containing 13.3 g. MeONa, refluxed overnight and the ester refluxed

overnight with 70 g. KOH in 400 mL. EtOH and 70 mL. H₂O, give 15.2 g. (p-benzyloxyphenyl)acetic acid (II), m. 120-1°. I (15.2 g.) in 200 mL. H₂O and 48.4 mL. 4.135 N NaOH (stirred in an ice bath), treated dropwise with 12 g. ClCO₂Et, the mixture stirred 2 h., and 32 mL. 4 N HCl added, gives (p-carbomethoxyphenyl)acetic acid, m. 78-9°. II (15.2 g.) in 30 mL. SOCl₂, the mixture kept overnight, the residue treated with 11.7 g. DL-valine and 16 mL. 12 N NaOH in 200 mL. H₂O, gives N-(p-benzyloxyphenylacetyl)-DL-valine (III), m. 144-5°, S 1.37 (S is the stimulation; compds. were tested at 0.0008 M concentration; the values represent the ratio units in test container/units in control container). The following analogs of III were prepared (R in RC₆H₄CH₂CONHCH(CHMe₂)CO₂H) (S is 1 unless otherwise given): o-NO₂ m. 173-5°, m-NO₂ m. 153-8° (S 0.88), p-NO₂ m. 134-5° (S 1.49), o-NH₂ m. 238-41° (S 1.37), p-NH₂ m. 220-7° (prepared by catalytic reduction of the NO₂ derivs.), o-Cl m. 122-4°, p-Cl m. 144-5° (S 1.33), p-CN m. 138-40° (S 1.24), p-I m. 148-50°, p-iso-Pr m. 114-15°, p-MeO m. 129° (S 1.52), 2,4,6-tri-Me m. 130-2° N-(p-nitrophenylacetyl)isoleucine m. 113-15°. The following esters were prepared by treating the substituted PhMe with Br and the resulting PhCH₂Br with KCN, hydrolyzing the nitrile with aqueous alc. H₂SO₄, and esterifying with MeOH-H₂SO₄: Me (3,4-dibromophenyl)acetate m. 44-5° 3,4,5-tri-Br analog m. 78-9° 4-bromo-3-chloro analog m. 42-3°. Et (o-fluorophenyl)acetate, b₂₄ 135-6°, 52%; m-isomer, b₂₈ 126-9°, 22%; p-isomer, b₃₁ 128-30°, n_{25D} 1.4776, 48%. Et (4-amino-3-nitrophenyl)acetate, bright yellow, m. 80-1° (68% on saturating the acid in EtOH with HCl and standing overnight). 3,4-MeO(O₂N)C₆H₃CH₂Cl through the nitrile yields (4-methoxy-3-nitrophenyl)acetic acid, m. 122-5°. MeSPh (24.8 g.), 150 mL. CS₂, and 24 g. AcCl at 0°, treated with 30 g. AlCl₃ (in portions) and the mixture stirred 4 h., give p-methylmercaptoacetophenone (III), m. 72-5° 49.8 g. III, 9.6 g. S, and 27 mL. morpholine, refluxed overnight, treated with 400 mL. concentrated HCl and 300 mL. H₂O, and again refluxed overnight, give 25 g. (p-methylmercaptophenyl)acetic acid,

m. 92-4° Me ester b3 179-81°. m-F3CC6H4CN (51.5 g.) in 50 mL. ether, added (1 h.) to MeMgI (60 g. MeI) and, after 3 h., poured into 500 g. ice and 100 mL. concentrated HCl, gives 50% m-(trifluoromethyl)acetophenone (IV), b. 198-200°. m-F3CC6H4COCl (b750 184-6°, 95.5% yield) (93.5 g.) in 100 mL. ether, added dropwise to CdMe2 (25 mg. Mg, 100 g. MeBr, and 110 g. CdCl2) in 700 mL. ether, gives 91% IV. IV (10 g.), 2 g. S, and 5.3 g. morpholine, heated 16 h. at 135°, treated with 30 mL. AcOH and 50 mL. concentrated HCl, and refluxed 7 h., give 89% [m-(trifluoromethyl)phenyl]acetic acid, m. 72-3°. p-PhOC6H4Ac (60 g.), 13 g. S, and 10 mL. morpholine, refluxed overnight, the crude product hydrolyzed (2 days) by refluxing with 75 g. KOH in 75 mL. H2O and 600 mL. EtOH, and the acid esterified with EtOH and H2SO4, give 25 g. Et (p-phenoxyphenyl)acetate, b0.2 173-4°. p-MeOC6H4CONHC6H4CH2CO2H (m. 211-12°) and excess CH2N2 in MeOH-ether give a quant. yield of the Me ester, m. 162°. Ph2S and AcCl give p-phenylmercaptoacetophenone, b1 180°, which, by the Willgerodt method and esterification, yields Et (p-phenylmercaptophenyl)acetate, b0.65 163°. I (36 g.) in 300 mL. absolute EtOH containing 11 g. MeONa, refluxed overnight with 30 g. Et2N(CH2)3Cl, gives 24 g. Et [p-(3-diethylaminopropoxy)phenyl]acetate, b0.3 145-7° (HCl salt, m. 121°). p-HOC6H4CH2CONHCH2CH2OH (V) (49 g.) in 165 mL. 10% NaOH, treated with PhN2Cl (23 g. PhNH2) at 0°, gives 56.5 g. N-2-hydroxyethyl-α-(4-hydroxy-3-phenylazophenyl)acetamide, m. 180-1.5°. V (49 g.) and 79.7 g. Hg(OAc)2 in 800 mL. 50% EtOH and 40 mL. AcOH, allowed to stand 12 days at room temperature and the solid product heated with 750 mL. 50% EtOH containing 5% AcOH, gives 51.4 g. N-2-hydroxyethyl-α-[3,5-bis-(acetylmercuri)-4-hydroxyphenyl]acetamide, partially m. at 240° (rapid heating). p-tert-BuC6H4Ac (87 g.) through the acid (Willgerodt method), yields 19.4 g. Et (p-tert-butylphenyl)acetate, b0.47 95°. p-tert-AmC6H4Ac (68.5 g.) yields 15 g. Et (p-tert-amylphenyl)acetate, b2 124°. Reaction of I (90 g.) and 70 g. CH2:CHCH2Br, followed by esterification, gives 18.4 g. Et (p-allyloxyphenyl)acetate (VI), b0.5 126-7° oxidation of 44 g. VI in 100 mL. 70% Me2CO with 22 g. KMnO4 in 300 mL. 70% Me2CO (with addition of 8 g. AcOH to the mixture) yields 24.8 g. Et [p-(2,3-dihydroxypropoxy)phenyl]acetate, b0.2 200°. N-2-Hydroxyethyl amides, RC6H4CH2CONHCH2CH2OH, were prepared by heating the above and other esters with excess H2NCH2CH2OH overnight on the steam bath or several hrs. at 110-20° (R given; S is 1 unless otherwise given): p-acetamido m. 145-6°, p-allyloxy m. 84-5° (S 1.23), 4-amino-3-nitro m. 132°, p-NH2 m. 103-4° (S 1.14), p-tert-Am oil, p-anisoylamino m. 210-11°, 4-bromo-3-chloro m. 104-6° (S 1.71), o-Br m. 106-7°, m-Br m. 129-30° (S 2.21), p-Br m. 108-9° (S 2.90), p-tert-Bu, oil, o-Cl m. 99-100°, m-Cl m. 114-17° (S 1.84), p-Cl m. 90-1° (S 1.97), 3,5-bis(acetylmercuri)-4-hydroxy, 3,5-dibromo-4-hydroxy m. 200-2°, 3,4-di-Br m. 125-7°, 2,4-di-Cl m. 118-19°, 3,4-di-Cl m. 113-14° (S 2.10), p-(3-diethylaminopropoxy) oil, p-(2,3-dihydroxypropoxy) oil (S 1.20), 3,5-diiodo-4-hydroxy m. 179-80°, 2,3-di-MeO m. 93°, 3,4-di-MeO m. 96-8°, 3,4-di-Me m. 99-100° (S 1.27), p-EtO m. 90-1° (S 1.26), o-F m. 103-5° (S 1.23), m-F m. 75-7° (S 1.93), p-F m. 75° (S 1.54), o-HO oil (S 1.24), m-HO m. 92-3° (S 1.13), p-HO m. 110-12°, p-(2-hydroxyethylcarbonyl) m. 157-8°, 4-hydroxy-3-phenylazo m. 180-1.5°, m-I m. 127-9° (S 1.75), p-I m. 112-13° (S 1.83), 5-isopropyl-2-Me oil, p-iso-Pr oil (S 1.33), o-MeO oil, m-MeO m. 59°, p-MeO m. 86-8° (S 1.22), 3,4-methylenedioxy m. 99-100°.

p-methylmercapto m. 115-17° (S 1.49), 4-methoxy-3-nitro m. 69°, o-Me m. 63-4° (S 1.36), m-Me oil (S 1.39), p-Me m. 76-8° (S 1.69), p-NO₂ m. 140-2°, p-PhO m. 95° (S 1.64), p-phenylmercapto m. 89-90°, p-Ph m. 172-5° (S 0.87), 3,4,5-tri-Br m. 212-13° (S 0.33), m-F₃C oil (S 1.28), 2,4,6-tri-Me m. 144-5°. N-Allyl-α-(p-hydroxyphenyl)acetamide m. 84-6°. N-(2-Aminoethyl)-α-(p-methoxyphenyl)acetamide-HCl m. 135-8° (S 1.34). PhCH₂CS₂Me (18.2 g.) in 15 g. MePrNH on heating to boiling gives 86% N-methyl-N-propyl-α-phenylthioacetamide, b1.5 155-8°, n_D^{24.5D} 1.5876. The following phenylthioacetyl derivs. were prepared by exactly neutralizing the amino acid with 4 N NaOH, diluting with an equal volume of EtOH, adding 10% molar excess PhCH₂CS₂Me, and shaking for a few min. to several hrs.: D-penicillamine m. 132-3°, 55%; L-isomer m. 133-4°, 61%; β,β-diethoxyalanine, with 0.5 mol. H₂O, m. 67.5-8°, 84%; DL-valine m. 102-3°, 95%; DL-isoleucine m. 95-6°, 75%. Details are given of the formation of p-HOC₆H₄CH₂CONHCH₂CH₂OH. From the results of the S data it is difficult to draw any generalizations. Both the kind and position of the substituents had a marked influence upon the ability of the compound to act as a penicillin precursor. That the nature of the PhCH₂CO₂H derivative had a profound influence upon its utilization by the mold was illustrated in several cases.

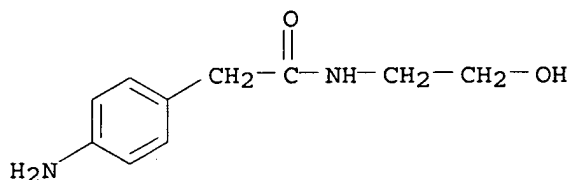
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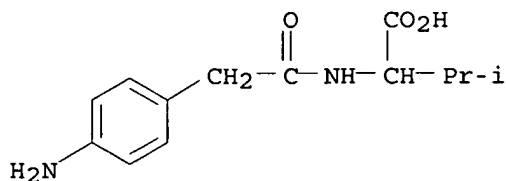
RN 167613-92-5 CAPLUS

CN Benzeneacetamide, 4-amino-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 855701-79-0 CAPLUS

CN Phenaceturic acid, p-amino-α-isopropyl- (5CI) (CA INDEX NAME)



RN 855925-26-7 CAPLUS

CN Acetamide, 2-(4-amino-3-nitrophenyl)-N-2-hydroxyethyl- (5CI) (CA INDEX NAME)

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